

TRANSLATION

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference P2-04K16230 | FOR FURTHER ACTION | See Form PCT/IPEA/416 |
| International application No. PCT/JP2005/001733 | International filing date (<i>day/month/year</i>) 31.01.2005 | Priority date (<i>day/month/year</i>) 10.02.2004 |
| International Patent Classification (IPC) or national classification and IPC C07D251/46, C07C67/08, 69/24, 231/02, 233/05 | | |
| Applicant JAPAN SCIENCE AND TECHNOLOGY AGENCY | | |

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| 1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36. |
| 2. This REPORT consists of a total of <u>7</u> sheets, including this cover sheet. |
| 3. This report is also accompanied by ANNEXES, comprising: a. <input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows: <input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). <input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box. b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions). |
| 4. This report contains indications relating to the following items: <input checked="" type="checkbox"/> Box No. I Basis of the report <input type="checkbox"/> Box No. II Priority <input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability <input checked="" type="checkbox"/> Box No. IV Lack of unity of invention <input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement <input type="checkbox"/> Box No. VI Certain documents cited <input type="checkbox"/> Box No. VII Certain defects in the international application <input type="checkbox"/> Box No. VIII Certain observations on the international application |

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| Date of submission of the demand | Date of completion of this report |
| Name and mailing address of the IPEA/JP | Authorized officer |
| Facsimile No. | Telephone No. |

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2005/001733

Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:
- ☐ international search (Rule 12.3 and 23.1(b))
- ☐ publication of the international application (Rule 12.4)
- ☐ international preliminary examination (Rule 55.2 and/or 55.3)
2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:
- ☒ the international application as originally filed/furnished
- ☐ the description:
- pages _____ as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ the claims:
- nos. _____ as originally filed/furnished
- nos.* _____ as amended (together with any statement) under Article 19
- nos.* _____ received by this Authority on _____
- nos.* _____ received by this Authority on _____
- ☐ the drawings:
- sheets _____ as originally filed/furnished
- sheets* _____ received by this Authority on _____
- sheets* _____ received by this Authority on _____
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages _____
- ☐ the claims, nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages _____
- ☐ the claims, nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2005/001733

Box No. IV

Lack of unity of invention

1. ☐ In response to the invitation to restrict or pay additional fees the applicant has:
- ☐ restricted the claims.
 - ☐ paid additional fees.
 - ☐ paid additional fees under protest.
 - ☐ neither restricted the claims nor paid additional fees.
2. ☒ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.
3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is:
- ☐ complied with.
 - ☒ not complied with for the following reasons:

The special technical feature of the inventions set forth in claims 1 to 11 is the compound represented by formula (I), whereas the special technical feature of the inventions set forth in claims 12 to 18 is the method for producing carboxylic acid derivatives from carboxylic acids by means of a compound represented by formula (III) and a compound represented by formula (IV) instead of a compound represented by formula (I).

Such being the case, there is no technical relationship involving one or more of the same or corresponding special technical features among the inventions in question, and thus said inventions cannot be considered to be linked so as to form a single general inventive concept.

4. Consequently, this report has been established in respect of the following parts of the international application:

☒ all parts.

☐ the parts relating to claims Nos. _____

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2005/001733

| Box No. V | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement | | |
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| 1. Statement | | | |
| Novelty (N) | Claims | <u>1-18</u> | YES |
| | Claims | | NO |
| Inventive step (IS) | Claims | <u>3, 10, 16</u> | YES |
| | Claims | <u>1, 2, 4-9, 11-15, 17, 18</u> | NO |
| Industrial applicability (IA) | Claims | <u>1-18</u> | YES |
| | Claims | | NO |
| 2. Citations and explanations (Rule 70.7) | | | |
| (Citations) | | | |
| Document 1: WO 2000/53544 A1 (Tokuyama Corp.), 14 September 2000 | | | |
| Document 2: Journal of the American Chemical Society, 2001, Vol. 123 No. 43, pages 10760 to 10761 | | | |
| Document 3: JP 2004-503522 A (Degussa AG.), 05 February 2004 | | | |
| Document 4: JP 2001-247555 A (Tokuyama Corp.), 11 September 2001 | | | |
| (Explanations) | | | |
| <u>Claims 1, 2, 4 to 9, 11 to 15, 17 and 18</u> | | | |
| <p>The inventions set forth in claims 1, 2, 4 to 9, 11 to 15, 17 and 18 are not disclosed in any of documents 1 to 4, which are cited in the international search report; therefore, said inventions are novel. However, the inventions in question do not involve an inventive step in the light of documents 1 to 3 cited in the international search report.</p> | | | |

| Box No. V | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
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| | <p>Document 1 indicates that 2-substituted amino-1, 3, 5-triazine compounds (i.e., the compounds represented by formula (I) set forth in claim 1 wherein moieties R³ to R⁵ are substituent groups) are useful as condensing agents for producing carboxylic acid derivatives from carboxylic acids; indicates that it is possible to produce said 2-substituted amino-1, 3, 5-triazine compounds by means of a well-known method such as the method wherein a corresponding 2-chloro-1, 3, 5-triazine compound is reacted with a tertiary amino compound; and presents a variety of amine structures (e.g., the structures of dimethylisopropylamine, tributylamine and the like) as examples of the structure of the tertiary amine that is formed by the abovementioned moieties R³ to R⁵ and the nitrogen atoms that bond thereto.</p> <p>Meanwhile, document 2 discloses a method wherein Me₂NCH₂COOEt and 2-chloro-4, 6-dimethoxy-1, 3, 5-triazine (CDMT), which falls within the scope of formula (IV) set forth in claim 12, are employed as reagents for producing carboxylic acid derivatives from carboxylic acids; indicates that 2-substituted amino-1, 3, 5-triazine compounds (i.e., compounds represented by formula (I) set forth in claim 1 wherein moieties R³ and R⁴ are methyl groups while moiety R⁵ is a -CH₂COOEt group) are generated during the reaction in question; and also indicates that said 2-substituted amino-1, 3, 5-triazine compounds are considered to act as condensing agents.</p> <p>In addition, document 3 indicates that either (A) a combination of a tertiary amine and a 2-chloro-1, 3, 5-triazine compound obtained by substituting alkoxyl groups or the like into positions 4 and 6 of a compound such as CDMT, or (B) a 2-substituted amino-1, 3, 5-triazine</p> |

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| Box No. V | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
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compound, which is an adduct of the abovementioned compounds, can be used as a reagent for producing amides or esters from carboxylic acids.

Such being the case, it would have been easy for a person skilled in the art to conceive of attempting to use either (A) a combination of a tertiary amine compound and a 2-halogeno-1, 3, 5-triazine compound wherein position 4 or position 6 has been substituted with an alkoxyl group or the like, or (B) a compound that was obtained by arbitrarily substituting the groups corresponding to the abovementioned moieties R^3 to R^5 within the 2-substituted amino-1, 3, 5-triazine compounds disclosed in documents 1 and 2 as a condensing agent for producing carboxylic acid derivatives from carboxylic acids. At that time, a person skilled in the art could produce the 2-substituted amino-1, 3, 5-triazine compounds by means of one of the methods disclosed in documents 1 to 3 or by means of a well-known method such as the method that employs a compound obtained by substituting the halogen atoms in the 2-halogeno-1, 3, 5-triazine compounds with hydroxyl groups, which also function as leaving groups, as appropriate.

Furthermore, even if the disclosures in the description of the present application are taken into consideration, the only specific disclosures with regards to the formation of micelles and the superior reaction speed are associated with the compounds represented by formula (I) set forth in claim 1 wherein two moieties among moieties R^3 to R^5 are methyl groups while the remaining moiety is a $-\text{CH}_2\text{COO}-\text{C}_n\text{H}_{2n+1}$ group (therein, n represents 8, 12 or 16); therefore, the description of the present application does not confirm whether the

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2005/001733

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| Box No. V | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
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compounds represented by formula (I) wherein the lower limit of n is 6 or the combinations of a compound represented by formula (III) set forth in claim 12 and a compound represented by formula (IV) set forth in claim 12 will exhibit effects similar to those of the abovementioned compounds.

Claims 3, 10 and 16

The inventions set forth in claims 3, 10 and 16 are novel and involve an inventive step in relation to documents 1 to 4 cited in the international search report.

Documents 1 to 4 do not disclose dehydrating agents with a quaternary ammonium structure that comprises an alkyl group with a carbon number of 12 to 16; on the other hand, the inventions set forth in the abovementioned claims of the present application exhibit an advantageous effect in that it is possible to form micelles in an aqueous solution, and in that it is possible to achieve a superior reaction speed as a result of the feature in question.